

| FORM PTO-1449<br>(REV. 7-80)  |    | U.S. DEPARTMENT OF COMMERCE<br>PATENT AND TRADEMARK OFFICE  |   |   |   |   |             |      | ATTY. DOCKET NO.:<br>332.1132 |                      | SERIAL NO.: 10/126,506 |                            |          |
|---|----|---|---|---|---|---|-------------|------|-------------------------------|----------------------|------------------------|----------------------------|----------|
| LIST OF PRIOR ART CITED BY APPLICANT<br><br>(Use several sheets if necessary) |    | APPLICANT(S): R. Richard GOEHRING, et al.   |   |   |   |   |             |      |                               |                      |                        |                            |          |
|   |    | FILING DATE: April 18, 2002   |   |   |   |   | GROUP: 1614 |      |                               |                      |                        |                            |          |
| U.S. PATENT DOCUMENTS   |    |   |   |   |   |   |             |      |                               |                      |                        |                            |          |
| *EXAMINER INITIAL   |    | DOCUMENT NUMBER   |   |   |   |   |             | DATE | NAME                          | CLASS                | SUBCLASS               | FILING DATE IF APPROPRIATE |          |
| RO  | AA | 3   | 1 | 6 | 1 | 6 | 4           | 5    | 12/15/64                      | Paul Adriaan, et al. | 260                    | 293.4                      |          |
| RO  | AB | 3   | 3 | 1 | 8 | 9 | 0           | 0    | 05/09/67                      | Paul Adriaan, et al. | 260                    | 294                        |          |
| RO  | AC | 3   | 3 | 2 | 5 | 4 | 9           | 9    | 06/13/67                      | Poos, et al.         | 260                    | 294                        |          |
| RO  | AD | 4   | 2 | 1 | 5 | 1 | 1           | 9    | 07/29/80                      | Mentrup, et al.      | 424                    | 248.5                      |          |
| RO  | AE | 4   | 3 | 2 | 9 | 3 | 5           | 3    | 05/11/82                      | Stokbroekx, et al.   | 424                    | 267                        |          |
| RO  | AF | 4   | 4 | 1 | 0 | 5 | 2           | 8    | 10/18/83                      | Teranishi, et al.    | 424                    | 251                        |          |
| RO  | AG | 5   | 5 | 7 | 4 | 0 | 4           | 4    | 11/12/96                      | Thompson, et al.     | 514                    | 316                        |          |
| RO  | AH | 5   | 6 | 6 | 1 | 1 | 6           | 9    | 08/26/97                      | Di Malta, et al.     | 514                    | 387                        |          |
| RO  | AI | 5   | 7 | 6 | 0 | 0 | 5           | 4    | 06/02/98                      | Huff, et al.         | 514                    | 302                        |          |
| RO  | AJ | 5   | 7 | 6 | 7 | 1 | 1           | 8    | 06/16/98                      | Nargund, et al.      | 514                    | 226.4                      |          |
| RO  | AK | 6   | 0 | 6 | 3 | 7 | 9           | 6    | 05/16/00                      | Yang, et al.         | 514                    | 322                        |          |
| RO  | AL | 6   | 1 | 6 | 6 | 0 | 3           | 7    | 12/26/00                      | Budhu, et al.        | 514                    | 326                        |          |
| FOREIGN PATENT DOCUMENTS  |    |   |   |   |   |   |             |      |                               |                      |                        |                            |          |
|   |    | DOCUMENT NUMBER   |   |   |   |   |             | DATE | COUNTRY                       | CLASS                | SUBCLASS               | TRANSLATION                |          |
|   |    |   |   |   |   |   |             |      |                               |                      |                        | YES                        | NO       |
| RO  | AM | 9   | 7 | 1 | 0 | 2 | 1           | 3    | 03/20/97                      | WO (A1)              | C07D                   | 211/44                     | Abstract |
| RO  | AN | 9   | 7 | 4 | 0 | 0 | 3           | 5    | 10/30/97                      | WO (A1)              | C07D                   | 401/04                     |          |
| RO  | AO | 9   | 8 | 5 | 4 | 1 | 6           | 8    | 12/03/98                      | WO (A1)              | C07D                   | 401/04                     | Abstract |
| RO  | AP | 9   | 9 | 2 | 9 | 6 | 9           | 6    | 06/17/99                      | WO (A1)              | C07D                   | 491/107                    |          |
| RO  | AO | 9   | 9 | 3 | 6 | 4 | 2           | 1    | 07/22/99                      | WO (A1)              | C07D                   | 401/04                     |          |
| RO  | AR | 9   | 9 | 4 | 8 | 4 | 9           | 2    | 09/30/99                      | WO (A1)              | A61K                   | 31/165                     | Abstract |
| OTHER PRIOR ART (Including Author, Title, Date, Pertinent Pages, Etc.)        |    |   |   |   |   |   |             |      |                               |                      |                        |                            |          |
| RO  | AS | Custers, F.G.J., et al., "Vesamicol and some of its derivatives: Questionable ligands for selectively labelling acetylcholine transporters in rat brain", European Journal of Pharmacology, 338: 117-183, 1997. |   |   |   |   |             |      |                               |                      |                        | DATE CONSIDERED            |          |
| EXAMINER  |    | <u>R. Desai</u>   |   |   |   |   |             |      |                               | 12/29/03             |                        |                            |          |

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| U.S. PATENT DOCUMENTS   |    |  |   |   |   |   |             |      |                               |             |                        |                            |  |
| *EXAMINER INITIAL   |    | DOCUMENT NUMBER  |   |   |   |   |             | DATE | NAME                          | CLASS       | SUBCLASS               | FILING DATE IF APPROPRIATE |  |
| <i>RO</i>   | BA | 6  | 1 | 2 | 7 | 0 | 6           | 7    | 01/09/01                      | Ito, et al. | 514                    | 252.13                     |  |
| FOREIGN PATENT DOCUMENTS  |    |  |   |   |   |   |             |      |                               |             |                        |                            |  |
|   |    | DOCUMENT NUMBER  |   |   |   |   |             | DATE | COUNTRY                       | CLASS       | SUBCLASS               | TRANSLATION                |  |
| YES   | NO |  |   |   |   |   |             |      |                               |             |                        |                            |  |
| <i>RO</i>   | BB | 9  | 9 | 5 | 9 | 9 | 9           | 7    | 11/25/99                      | WO (A1)     | C07D                   | 471/10                     |  |
| <i>RO</i>   | BC | 0  | 0 | 0 | 0 | 5 | 6           | 5    | 02/10/00                      | WO (A1)     | C07D                   | 211/52                     |  |
| <i>RO</i>   | BD | 0  | 1 | 0 | 7 | 0 | 5           | 0    | 02/01/01                      | WO (A1)     | A61K                   | 31/445                     |  |
| <i>RO</i>   | BE | 0  | 1 | 4 | 4 | 2 | 1           | 3    | 06/21/01                      | WO (A1)     | C07D                   | 265/18                     |  |
| <i>RO</i>   | BF | 0  | 2 | 2 | 9 | 9 | 1           | 1    | 03/14/02                      | WO (A2)     | A61K                   | 31/347                     |  |
| <i>RO</i>   | BG | 0  | 9 | 9 | 2 | 3 | 9           | 1    | 10/26/83                      | EP (A2)     | C07D                   | 401/06                     |  |
| <i>RO</i>   | BH | 6  | 9 | 2 | 1 | 1 | 7           | 5    | 06/09/99                      | EP (A1)     | C07D                   | 471/10                     |  |
| <i>RO</i>   | BI | 60   | 1 | 2 | 0 | 8 | 7           | 2    | 06/28/85                      | Japan       | C07D                   | 237/34                     |  |
| <i>RO</i>   | BJ | 10   | 3 | 3 | 0 | 3 | 7           | 7    | 12/15/98                      | Japan       | C07D                   | 401/14                     |  |
| OTHER PRIOR ART (Including Author, Title, Date, Pertinent Pages, Etc.)  |    |  |   |   |   |   |             |      |                               |             |                        |                            |  |
| <i>RO</i>   | BK | Harrison T, et al., "High Affinity, Selective Neurokinin 2 and Neurokinin 3 Receptor Antagonists from a Common Structural Template," <i>Biorganic &amp; Medicinal Chemistry Letters</i> , Vol. 8, pp 1343-1348, especially compounds 2d and 3d on page 1345. (1998).   |   |   |   |   |             |      |                               |             |                        |                            |  |
| <i>RO</i>   | BL | Takai H, et al., "Synthesis and Pharmacological Evaluation of Peperidine Derivatives with Various Heterocyclic Rings at the 4-Position," <i>Chemical Pharmaceutical Bulletin</i> , March 1985, Vol. 33, No. 3, pages 1105-1115, especially pages 1105 and 1106.        |   |   |   |   |             |      |                               |             |                        |                            |  |
| <i>RO</i>   | BM | Database on STN CASDATA (Columbus, Ohio, USA) CA accession: no. 129-54361, Huff, et al., 'Preparation of benzisothiazolones and analogs as alpha. 1C adrenergic receptor antagonist', 1998, 392146 CAPLUS (Abstract).  |   |   |   |   |             |      |                               |             |                        |                            |  |
| <i>RO</i>   | BN | Database on STN CASDATA (Columbus, Ohio, USA) CA accession: no. 130-95479, Sasaki, et al., 'Preparation of piperidine derivatives as cell adhesion inhibitors for inflammation inhibitors, metastasis inhibitors, etc.', 1998, 795478 CAPLUS (Abstract).               |   |   |   |   |             |      |                               |             |                        |                            |  |
| <i>RO</i>   | BO | Database on STN CADATÁ (Columbus, Ohio, USA) CA accession: no. 124-176079, Huff, et al., 'Preparation of heterocycles as alpha-1c adrenergic receptor antagonists', 1995, 998362 CAPLUS (Abstract).  |   |   |   |   |             |      |                               |             |                        |                            |  |
| <i>RO</i>   | BP | Database on STN CASDATA (Columbus, Ohio, USA) CA accession: no. 81-77786, Klein, et al., 'Potential analgesics. 3. 1-(4-Piperidiny)-2-indolinones and -3, 4-dihydrocarboxytrils', <i>Arch. Pharm.</i> Vol. 307 (5), pp 360-365. (1974), 1974, 47786 CAPLUS (Abstract). |   |   |   |   |             |      |                               |             |                        |                            |  |
| <i>RO</i>   | BQ | Database on STN CASDATA (Columbus, Ohio, USA) CA accession: no. 136-53656, Forbes I.T., 'A short and efficient synthesis of N-substituted indol-2-ones (oxindoles)', <i>Tetrahedron Lett.</i> , (2001), vol 42 (39), pp. 6943 - 6945, 2001:674545 CAPLUS (Abstract).   |   |   |   |   |             |      |                               |             |                        |                            |  |
| EXAMINER<br><i>R. Desai</i>   |    | DATE CONSIDERED<br><i>12/29/03</i>   |   |   |   |   |             |      |                               |             |                        |                            |  |
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| CA  |    |   |  |                                    |   |       |                        |                            |
| CB  |    |   |  |                                    |   |       |                        |                            |
| CC  |    |   |  |                                    |   |       |                        |                            |
| CD  |    |   |  |                                    |   |       |                        |                            |
| CE  |    |   |  |                                    |   |       |                        |                            |
| FOREIGN PATENT DOCUMENTS  |    |   |  |                                    |   |       |                        |                            |
|   |    | DOCUMENT NUMBER   |  | DATE                               | COUNTRY                                   | CLASS | SUBCLASS               | TRANSLATION                |
| CF  |    |   |  |                                    |   |       |                        | YES                        |
| CG  |    |   |  |                                    |   |       |                        | NO                         |
| CH  |    |   |  |                                    |   |       |                        |                            |
| OTHER PRIOR ART (Including Author, Title, Date, Pertinent Comment, Etc.)  |    |   |  |                                    |   |       |                        |                            |
| <i>RO</i>   | CI | Database on STN CASDATA (Columbus, Ohio, USA) CA accession: no. 135:195564, Tsuchima, et al., 'Preparation of phenoxalkylamine derivatives useful as opioid delta receptor agonists', WO 2001/60796 (2001), 2001, 617978 CAPLUS (Abstract).   |  |                                    |   |       |                        |                            |
| <i>RO</i>   | CJ | Database on STN CASDATA (Columbus, Ohio, USA) CA accession: no. 135:272955, Tsuchima, et al., 'Preparation of diphenylalkylpiperidine derivatives useful as opioid delta receptor agonists', 2001:713309 CAPLUS (Abstract).   |  |                                    |   |       |                        |                            |
| <i>RO</i>   | CK | Database on STN CASDATA (Columbus, Ohio, USA) CA accession: no. 130:223167, Budhu, et al., 'Preparation of piperidinopyrrolidines as modulators of chemokine receptor activity', 1999:172595 CAPLUS (Abstract).   |  |                                    |   |       |                        |                            |
| <i>RO</i>   | CL | Database on STN CASDATA (Columbus, Ohio, USA) CA accession: no. 95:203828, Bianchi, et al., 'Compounds with antiulcer and antisecretory activity. I. 3-Aryl-benzimidazolin-2-ones and -thiones', <i>Eur. J. Med. Chem.</i> , 16(4), pp. 321-326 (1981), 1981:203828 CAPLUS (Abstract).                      |  |                                    |   |       |                        |                            |
| <i>RO</i>   | CM | Database on STN CASDATA (Columbus, Ohio, USA) CA accession: no. 73:445405, Ogata, et al., 'Organic photochemical reactions. VII. Photolysis of 1-benzyI-2-ethylbenzimidazole 3-oxide', <i>Chem. Pharm. Bull.</i> , 18(5), pp. 964-969. (1970), 1970:445405 CAPLUS (Abstract).                               |  |                                    |   |       |                        |                            |
| <i>RO</i>   | CN | Database on STN CASDATA (Columbus, Ohio, USA) CA accession: no. 95:177993, Giuliano, et al., 'Spectroscopic studies of 2-oxo-2,3-dihydrobenzimidazole and some N-monosubstituted o- or N,N'-disubstituted derivatives', <i>App. Spectrosc.</i> , (1981), 35(3), pp. 486-488, 1981:577993 CAPLUS (Abstract). |  |                                    |   |       |                        |                            |
| <i>RO</i>   | CO | Database on STN CASDATA (Columbus, Ohio, USA) CA accession: no. 134:353434, Nakamura, et al., 'Synthesis of a regio-isomer of kealitiquinone, a marine benzimidazole alkaloid', <i>J. Chem. Soc. Japan.</i> , (2001), (4), pp. 429-436, 2001:112633 CAPLUS (Abstract).                                      |  |                                    |   |       |                        |                            |
| <i>RO</i>   | CP | Database on STN CASDATA (Columbus, Ohio, USA) CA accession: no. 125:58298, Suzuki, et al., 'Preparation of heterocycle-containing amides as 5-HT4 agonists', <i>PCT Int. Appl.</i> , p. 122 (WO 96/05166), 1996: 393911 CAPLUS (Abstract).  |  |                                    |   |       |                        |                            |
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